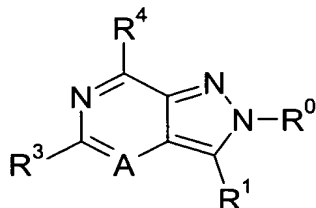


CLAIMS

What is claimed is:

1. A compound of Formula (I)



(I)

wherein

A is N or C(R²), where R² is hydrogen, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or (C₁-C₄)alkoxy;

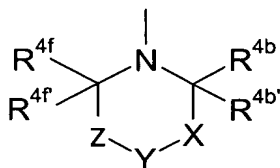
R⁰ is an optionally substituted aryl or an optionally substituted heteroaryl;

R¹ is an optionally substituted aryl or an optionally substituted heteroaryl;

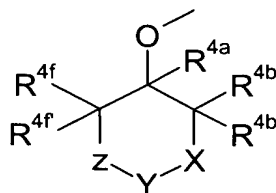
R³ is hydrogen, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or (C₁-C₄)alkoxy;

R⁴ is

- (i) a group having Formula (IA) or Formula (IB)



IA



IB

where R^{4a} is hydrogen or (C₁-C₃)alkyl;

R^{4b} and R^{4b'} are each independently hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either R^{4b} or $R^{4b'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

5 X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1-\text{C}_6)\text{alkyl}$, $(\text{C}_1-\text{C}_6)\text{alkoxy}$, acyloxy, acyl, $(\text{C}_1-\text{C}_3)\text{alkyl-O-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl-NH-C}(\text{O})-$, $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$, $(\text{C}_1-\text{C}_6)\text{alkylamino-}$, $\text{di}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, $(\text{C}_3-\text{C}_6)\text{cycloalkylamino-}$, acylamino-, $\text{aryl}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, heteroaryl $(\text{C}_1-\text{C}_4)\text{alkylamino-}$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6
10 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either R^{4c} or $\text{R}^{4c'}$ taken together with R^{4e} , $\text{R}^{4e'}$, R^{4f} , or $\text{R}^{4f'}$ forms a bond, a methylene bridge or an ethylene bridge;

15 Y is oxygen, sulfur, $-\text{C}(\text{O})-$, or $-\text{C}(\text{R}^{4d})(\text{R}^{4d'})-$, where R^{4d} and $\text{R}^{4d'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1-\text{C}_6)\text{alkyl}$, $(\text{C}_1-\text{C}_6)\text{alkoxy}$, acyloxy, acyl, $(\text{C}_1-\text{C}_3)\text{alkyl-O-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl-NH-C}(\text{O})-$, $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$, $(\text{C}_1-\text{C}_6)\text{alkylamino-}$, $\text{di}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, $(\text{C}_3-\text{C}_6)\text{cycloalkylamino-}$, acylamino-, $\text{aryl}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, heteroaryl $(\text{C}_1-\text{C}_4)\text{alkylamino-}$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4d} and $\text{R}^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, 3-6 membered partially or fully saturated
25 heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

30 Y is $-\text{NR}^{4d''}-$, where $\text{R}^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of $(\text{C}_1-\text{C}_6)\text{alkyl}$, $(\text{C}_3-\text{C}_6)\text{cycloalkyl}$, $(\text{C}_1-\text{C}_3)\text{alkylsulfonyl-}$, $(\text{C}_1-\text{C}_3)\text{alkylaminosulfonyl-}$, $\text{di}(\text{C}_1-\text{C}_3)\text{alkylaminosulfonyl-}$, acyl, $(\text{C}_1-\text{C}_6)\text{alkyl-O-C}(\text{O})-$, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

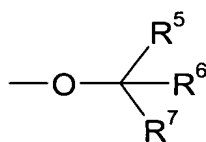
Z is a bond, $-\text{CH}_2\text{CH}_2-$, or $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$, where R^{4e} and $\text{R}^{4e'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N}-\text{C}(\text{O})-$, (C_1-C_6) alkylamino-, $\text{di}(\text{C}_1-\text{C}_4)$ alkylamino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either R^{4e} or $\text{R}^{4e'}$ taken together with R^{4b} , $\text{R}^{4b'}$, R^{4c} , or $\text{R}^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge; and

R^{4f} and $\text{R}^{4f'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N}-\text{C}(\text{O})-$, (C_1-C_6) alkylamino-, $\text{di}(\text{C}_1-\text{C}_4)$ alkylamino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or either R^{4f} or $\text{R}^{4f'}$ taken together with R^{4b} , $\text{R}^{4b'}$, R^{4c} , or $\text{R}^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

(ii) a group having Formula (IC)



IC

where R^5 and R^6 are each independently hydrogen, aryl, or (C_1-C_4) alkyl, and R^7 is an optionally substituted (C_1-C_4) alkyl-, or an optionally substituted 4-6 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,

or R^5 and R^6 or R^5 and R^7 taken together form a 5-6 membered lactone, 4-6 membered lactam, or a 4-6 membered partially or fully saturated heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,

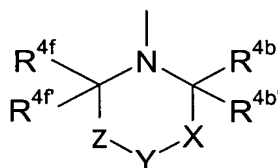
where said lactone, said lactam and said heterocycle are optionally substituted with one or more substituents;

(iii) an amino group having attached thereto at least one chemical moiety selected from the group consisting of (C₁-C₈)alkyl, aryl, aryl(C₁-C₄)alkyl, a 3-8
 5 membered partially or fully saturated carbocyclic ring, hydroxy(C₁-C₆)alkyl, (C₁-C₃)alkoxy(C₁-C₆)alkyl, heteroaryl(C₁-C₃)alkyl, and a fully or partially saturated heterocycle, where said chemical moiety is optionally substituted with one or more substituents; or

(iv) an (C₁-C₆)alkyl group having attached thereto at least one chemical
 10 moiety selected from the group consisting of hydroxy, (C₁-C₆)alkoxy, amino, (C₁-C₆)alkylamino, di((C₁-C₆)alkyl)amino (C₁-C₃)alkylsulfonyl, (C₁-C₃)alkylsulfamyl, di((C₁-C₃)alkyl)sulfamyl, acyloxy, a fully or partially saturated heterocycle, and a fully or partially saturated carbocyclic ring, where said chemical moiety is optionally substituted with one or more substituents;

15 a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

2. The compound of Claim 1 wherein R⁴ is a group having Formula (IA)



IA

20 where,

R^{4b} and R^{4b'} are each independently hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic
 25 ring, where said moiety is optionally substituted with one or more substituents,

or R^{4b} or R^{4b'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, -CH₂CH₂- or -C(R^{4c})(R^{4c'})-, where R^{4c} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-,
 30

(C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4c} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge, and

R^{4c'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, or R^{4c'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge;

Y is oxygen, sulfur, -C(O)-, or -C(R^{4d})(R^{4d'})-, where R^{4d} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and

R^{4d'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, or R^{4d} and R^{4d'} taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is $-NR^{4d''}$ -, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₃)alkylsulfonyl-, (C₁-C₃)alkylaminosulfonyl-, di(C₁-C₃)alkylaminosulfonyl-, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more

5 substituents;

Z is a bond, $-CH_2CH_2-$, or $-C(R^{4e})(R^{4e'})-$, where R^{4e} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

15 or R^{4e} taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge, and

$R^{4e'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

20 or $R^{4e'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge; and

R^{4f} and $R^{4f'}$ are each independently hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

25 or R^{4f} or $R^{4f'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge;

30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

3. The compound of Claim of 2 wherein

R^0 and R^1 are each independently a substituted phenyl;

R^{4b} is hydrogen, an optionally substituted (C_1 - C_3)alkyl, or taken together with
5 R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

$R^{4b'}$ is hydrogen, an optionally substituted (C_1 - C_3)alkyl, or taken together with
 R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

R^{4f} is hydrogen, an optionally substituted (C_1 - C_3)alkyl, or taken together with
 R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge; and

10 $R^{4f'}$ is hydrogen, an optionally substituted (C_1 - C_3)alkyl, or taken together with
 R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said
compound or said salt.

15 4. The compound of Claim 3 wherein

X is $-C(R^{4c})(R^{4c'})-$, where R^{4c} and $R^{4c'}$ are each independently hydrogen,
 $H_2NC(O)-$, or a chemical moiety selected from (C_1 - C_6)alkyl, (C_1 - C_4)alkyl-NH-C(O)-, or
((C_1 - C_4)alkyl) $_2$ N-C(O)-, where said moiety is optionally substituted with one or more
substituents,

20 or either R^{4c} or $R^{4c'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a
methylene bridge or an ethylene bridge;

Y is $-NR^{4d''}-$, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the
group consisting of (C_1 - C_6)alkyl, (C_3 - C_6)cycloalkyl, (C_1 - C_3)alkylsulfonyl, (C_1 -
 C_3)alkylaminosulfonyl, di(C_1 - C_3)alkylaminosulfonyl, acyl, (C_1 - C_6)alkyl-O-C(O)-, aryl,
25 and heteroaryl, where said moiety is optionally substituted with one or more
substituents;

Z is $-C(R^{4e})(R^{4e'})-$, where R^{4e} and $R^{4e'}$ are each independently hydrogen,
 $H_2NC(O)-$, or a chemical moiety selected from (C_1 - C_6)alkyl, (C_1 - C_4)alkyl-NH-C(O)-, or
((C_1 - C_4)alkyl) $_2$ N-C(O)-, where said moiety is optionally substituted with one or more
30 substituents,

or either R^{4e} or $R^{4e'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a
methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said
compound or said salt.

5. The compound of Claim 4 wherein R^{4d} is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₃)alkylsulfonyl, (C₁-C₃)alkylaminosulfonyl, di(C₁-C₃)alkylaminosulfonyl, acyl, (C₁-C₆)alkyl-O-C(O)-, and heteroaryl, where said moiety is optionally substituted with one or more substituents;
5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

6. The compound of Claim 5 wherein R^{4d} is a hydrogen or a chemical
10 moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₃)alkylsulfonyl, (C₁-C₃)alkylaminosulfonyl, di(C₁-C₃)alkylaminosulfonyl, acyl, and (C₁-C₆)alkyl-O-C(O)-, where said moiety is optionally substituted with 1-3 fluorines,
or R^{4d} is a heteroaryl, where said heteroaryl is optionally substituted with 1 to
2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-
15 C₃)alkoxy, (C₁-C₃)alkyl, and fluoro-substituted (C₁-C₃)alkyl;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

7. The compound of Claim 4, 5 or 6 wherein R⁰ and R¹ are each
20 independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25

8. The compound of Claim 7 wherein R⁰ and R¹ are each independently
a phenyl substituted with 1 to 2 substituents independently selected from the group
consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-
C₄)alkyl), and cyano;
30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

9. The compound of Claim 8 wherein R⁰ is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R¹ is 4-chlorophenyl or 4-fluorophenyl;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

10. The compound of Claim 9 selected from the group consisting of
3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-7-(4-methylpiperazin-1-yl)-2H-pyrazolo[4,3-d]pyrimidine;

10 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(5-cyclopentyl-2,5-diazabicyclo[2.2.1]hept-2-yl)-2H-pyrazolo[4,3-d]pyrimidine;

5-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-2,5-diazabicyclo[2.2.1]heptane-2-carboxylic acid tert-butyl ester;

15 5-[3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-2,5-diazabicyclo[2.2.1]heptane-2-carboxylic acid tert-butyl ester;

3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(5-methanesulfonyl-2,5-diazabicyclo[2.2.1]hept-2-yl)-2H-pyrazolo[4,3-d]pyrimidine;

3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-[5-(propane-2-sulfonyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]-2H-pyrazolo[4,3-d]pyrimidine;

20 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-[5-(2,2,2-trifluoroethanesulfonyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]-2H-pyrazolo[4,3-d]pyrimidine;

5-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-2,5-diazabicyclo[2.2.1]heptane-2-sulfonic acid dimethylamide;

25 4-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-piperazine-1-sulfonic acid dimethylamide;

3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(4-ethanesulfonylpiperazin-1-yl)-2H-pyrazolo[4,3-d]pyrimidine;

3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(4-(2,2,2-trifluoroethane)sulfonylpiperazin-1-yl)-2H-pyrazolo[4,3-d]pyrimidine;

30 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(4-methanesulfonylpiperazin-1-yl)-2H-pyrazolo[4,3-d]pyrimidine;

3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(4-(propane-2-sulfonyl)piperazin-1-yl)-2H-pyrazolo[4,3-d]pyrimidine; and

3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-7-(4-methylpiperazin-1-yl)-2H-pyrazolo[3,4-c]pyridine;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5

11. The compound of Claim 3 wherein Y is $-C(R^{4d})(R^{4d'})-$, where R^{4d} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, (C_1-C_6) alkylamino-, $((C_1-C_4)$ alkyl) $_2$ amino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

$R^{4d'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4d} and $R^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

12. The compound of Claim 11 wherein

R^{4d} is amino, (C_1-C_6) alkylamino, di (C_1-C_4) alkylamino, azetidiny, piperidinyl, pyrrolidinyl, morpholinyl, (C_3-C_6) cycloalkylamino, acylamino, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, piperidinyl, pyrrolidinyl, or morpholinyl; and

$R^{4d'}$ is (C_1-C_6) alkyl, $H_2NC(O)-$, (C_1-C_4) alkyl-NH-C(O)-, $((C_1-C_4)$ alkyl) $_2$ N-C(O)-, or aryl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

13. The compound of Claim 12 wherein R^{4d} is amino, (C₁-C₆)alkylamino, di(C₁-C₄)alkylamino, or (C₃-C₆)cycloalkylamino; and

R^{4d'} is H₂NC(O)-, (C₁-C₄)alkyl-NH-C(O)-, or ((C₁-C₄)alkyl)₂N-C(O)-;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

14. The compound of Claim 11, 12, or 13 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15. The compound of Claim 14 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

16. The compound of Claim 15 wherein R⁰ is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R¹ is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

17. The compound of Claim 16 selected from the group consisting of 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-methylaminoazetidine-3-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-(2-propylamino)azetidine-3-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide;

5 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide;

1'-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-[1,4']bipiperidinyl-4'-carboxylic acid amide;

10 8-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-pyrrolidin-1-yl-8-aza-bicyclo[3.2.1]octane-3-carboxylic acid amide;

1'-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-[1,3']bipyrrolidinyl-3'-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-morpholin-4-yl-pyrrolidine-3-carboxylic acid amide;

15 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-isopropylaminopyrrolidine-3-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[3,4-c]pyridin-7-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide;

20 1-[3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[3,4-c]pyridin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide;

1'-[3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[3,4-c]pyridin-7-yl]-[1,4']bipiperidinyl-4'-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[3,4-c]pyridin-7-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide; and

25 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[3,4-c]pyridin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

30 18. The compound of Claim 17 selected from the group consisting of

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-isopropylaminopyrrolidine-3-carboxylic acid amide; and

1'-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-[1,4']bipiperidiny-4'-carboxylic acid amide;

- 5 a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

19. The compound of Claim 11 wherein

- 10 R^{4d} is hydrogen, hydroxy, amino, cyano or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_6) alkylamino-, and di (C_1-C_4) alkylamino-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl)₂N-C(O)-, where said moiety is optionally substituted with one or more substituents; and

- 15 $R^{4d'}$ is hydrogen, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, aryl and heteroaryl, where said moiety is optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

- 20 20. The compound of Claim 19 wherein

X is a bond or $-C(R^{4c})(R^{4c'})-$, where R^{4c} and $R^{4c'}$ are each independently hydrogen or an optionally substituted (C_1-C_6) alkyl, or either R^{4c} or $R^{4c'}$ taken together with R^{4e} or $R^{4e'}$ forms a bond, a methylene bridge or an ethylene bridge; and

- 25 Z is a bond or $-C(R^{4e})(R^{4e'})-$, where R^{4e} and $R^{4e'}$ are each independently hydrogen or an optionally substituted (C_1-C_6) alkyl, or either R^{4e} or $R^{4e'}$ taken together with R^{4c} or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

- 30 21. The compound of Claim 20 wherein

R^{4c} and $R^{4c'}$ are each hydrogen or either R^{4c} or $R^{4c'}$ taken together with R^{4e} or $R^{4e'}$ forms a bond;

R^{4d} is hydrogen, hydroxy, amino, cyano, or a chemical moiety selected from the group consisting of (C₁-C₆)alkoxy, acyl, (C₁-C₆)alkylamino-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, and di(C₁-C₄)alkylamino-;

5 R^{4d'} is hydrogen, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl and aryl, where said moiety is optionally substituted with one or more substituents; and

R^{4e} and R^{4e'} are hydrogen or either R^{4e} or R^{4e'} taken together with R^{4c} or R^{4c'} forms a bond;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

22. The compound of Claim 19, 20, or 21 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-
15 C₄)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

23. The compound of Claim 22 wherein R⁰ and R¹ are each
20 independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl), and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25

24. The compound of Claim 23 wherein R⁰ is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R¹ is 4-chlorophenyl or 4-fluorophenyl;

30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25. The compound of Claim 24 selected from the group consisting of
1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-phenylpiperidin-4-ol;

- 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-ethylpiperidin-4-ol;
- 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-isopropylpiperidin-4-ol;
- 5 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-sec-butylpiperidin-4-ol;
- 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-methylpiperidin-4-ol;
- 10 8-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-ethyl-8-azabicyclo[3.2.1]octan-3-ol;
- 8-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-sec-butyl-8-azabicyclo[3.2.1]octan-3-ol;
- 8-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-isopropyl-8-azabicyclo[3.2.1]octan-3-ol;
- 15 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-isobutyl-pyrrolidin-3-ol;
- 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-3-isopropyl-pyrrolidin-3-ol;
- 20 {8-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-8-aza-bicyclo[3.2.1]oct-3-yl}-ethyl-amine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(3-pyrrolidin-1-yl)-8-aza-bicyclo[3.2.1]oct-8-yl)-2H-pyrazolo[4,3-d]pyrimidine;
- 7-(3-bromo-8-azabicyclo[3.2.1]oct-8-yl)-3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidine;
- 25 7-(3-bromo-8-azabicyclo[3.2.1]oct-8-yl)-3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[4,3-d]pyrimidine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(4-methylpiperidin-1-yl)-2H-pyrazolo[4,3-d]pyrimidine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(3-hydroxypiperidin-1-yl)-2H-pyrazolo[4,3-d]pyrimidine;
- 30 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-(3-methoxyphenyl)-piperidine-4-carbonitrile;
- 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-phenylpiperidine-4-carbonitrile;

1-{1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-phenylpiperidin-4-yl}-propan-1-one;

1'-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-2',3',5',6'-tetrahydro-1'H-[3,4']bipyridinyl-4'-carbonitrile;

5 1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-2,3,5,6-tetrahydro-1H-[4,4']bipyridinyl-4-carbonitrile;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-2,3,5,6-tetrahydro-1H-[2,4']bipyridinyl-4-carbonitrile;

10 {1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-4-phenylpiperidin-4-yl}-morpholin-4-yl-methanone;

benzyl-{8-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-8-aza-bicyclo[3.2.1]oct-3-yl}-amine;

{1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-pyrrolidin-3-yl}-methylcarbamic acid tert-butyl ester;

15 {1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-pyrrolidin-3-yl}-carbamic acid tert-butyl ester;

N-{1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-pyrrolidin-3-yl}-N-methylacetamide; and

20 {1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-pyrrolidin-3-yl}-dimethylamine;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

26. The compound of Claim 11 wherein

25 R^{4b} , $R^{4b'}$, R^{4f} , and $R^{4f'}$ are all hydrogen; and

R^{4d} and $R^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted
30 with one or more substituents and said lactone ring or said lactam ring optionally contains an additional heteroatom selected from oxygen, nitrogen or sulfur;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

27. The compound of Claim 26 wherein

X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen or an optionally substituted ($\text{C}_1\text{-C}_6$)alkyl, or either R^{4c} or $\text{R}^{4c'}$ taken together with R^{4e} or $\text{R}^{4e'}$ forms a bond, a methylene bridge or an ethylene bridge; and

Z is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$, where R^{4e} and $\text{R}^{4e'}$ are each independently hydrogen or an optionally substituted ($\text{C}_1\text{-C}_6$)alkyl, or either R^{4e} or $\text{R}^{4e'}$ taken together with R^{4c} or $\text{R}^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

28. The compound of Claim 27 wherein R^{4d} and $\text{R}^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, or a 5-6 membered lactam ring, where said lactam ring is optionally substituted with one or more substituents and optionally contains an additional heteroatom selected from nitrogen or oxygen;

15 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20

29. The compound of Claim 28 wherein

X is a bond or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each hydrogen; and

Z is a bond or $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$, where R^{4e} and $\text{R}^{4e'}$ are each hydrogen;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

25

30. The compound of Claim 26, 27, 28 or 29 wherein R^0 and R^1 are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, ($\text{C}_1\text{-C}_4$)alkoxy, ($\text{C}_1\text{-C}_4$)alkyl, halo-substituted ($\text{C}_1\text{-C}_4$)alkyl, and cyano;

30

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

31. The compound of Claim 30 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

32. The compound of Claim 31 wherein R⁰ is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 10 2,4-difluorophenyl; and R¹ is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

33. The compound of Claim 32 selected from the group consisting of 15 2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-5-methyl-2,5,7-triaza-spiro[3.4]octan-8-one;

8-[3-(4-chloro-phenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-1-isopropyl-1,3,8-triaza-spiro[4.5]decan-4-one;

20 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(1,4-dioxo-8-aza-spiro[4.5]dec-8-yl)-2H-pyrazolo[4,3-d]pyrimidine;

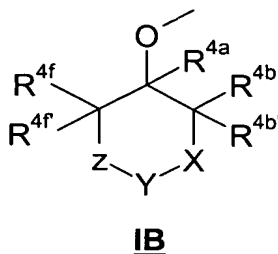
3-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-1-spiro[(5-methoxy)tetrahydronaphthalene-1,4'-piperidine];

3-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-1-spiro[(6-methoxy)tetrahydronaphthalene-1,4'-piperidine]; and

25 3-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-1-spiro[indane-1,4'-piperidine];

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

34. The compound of Claim 1 wherein R^4 is a group of Formula (IB)



where R^{4a} is as defined in Claim 1;

5 R^{4b} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, (C_1-C_6) alkylamino-, $((C_1-C_4)$ alkyl) $_2$ amino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

$R^{4b'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4b} or $R^{4b'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

20 X is a bond, $-CH_2CH_2-$ or $-C(R^{4c})(R^{4c'})-$, where R^{4c} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, (C_1-C_6) alkylamino-, $((C_1-C_4)$ alkyl) $_2$ amino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

25 or R^{4c} taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge, and

$R^{4c'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of $(C_1-C_6)alkyl$, acyl, $(C_1-C_3)alkyl-O-C(O)-$, $(C_1-C_4)alkyl-NH-C(O)-$, $(C_1-C_4)alkyl)_2N-C(O)-$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is

5 optionally substituted with one or more substituents,

or $R^{4c'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

Y is oxygen, sulfur, $-C(O)-$, or $-C(R^{4d})(R^{4d'})-$, where R^{4d} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting

10 of $(C_1-C_6)alkyl$, $(C_1-C_6)alkoxy$, acyloxy, acyl, $(C_1-C_3)alkyl-O-C(O)-$, $(C_1-C_4)alkyl-NH-C(O)-$, $(C_1-C_4)alkyl)_2N-C(O)-$, $(C_1-C_6)alkylamino-$, $((C_1-C_4)alkyl)_2amino-$, $(C_3-C_6)cycloalkylamino-$, acylamino-, aryl $(C_1-C_4)alkylamino-$, heteroaryl $(C_1-C_4)alkylamino-$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted

15 with one or more substituents, and

$R^{4d'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of $(C_1-C_6)alkyl$, acyl, $(C_1-C_3)alkyl-O-C(O)-$, $(C_1-C_4)alkyl-NH-C(O)-$, $(C_1-C_4)alkyl)_2N-C(O)-$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is

20 optionally substituted with one or more substituents,

or R^{4d} and $R^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted

25 with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

Y is $-NR^{4d''}-$, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of $(C_1-C_6)alkyl$, $(C_3-C_6)cycloalkyl$, $(C_1-C_3)alkylsulfonyl-$, $(C_1-C_3)alkylaminosulfonyl-$, di $(C_1-C_3)alkylaminosulfonyl-$, acyl, $(C_1-C_6)alkyl-O-C(O)-$, aryl, and heteroaryl, where said moiety is optionally substituted with one or more

30 substituents;

Z is a bond, $-CH_2CH_2-$, or $-C(R^{4e})(R^{4e'})-$, where R^{4e} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of $(C_1-C_6)alkyl$, $(C_1-C_6)alkoxy$, acyloxy, acyl, $(C_1-C_3)alkyl-O-C(O)-$, $(C_1-C_4)alkyl-NH-$

C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocyclic ring, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4e} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge, and

R^{4e'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4e} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge;

R^{4f} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents; and

R^{4f} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4f} or R^{4f'} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

35. The compound of Claim 34 wherein

R⁰ and R¹ are each independently a substituted phenyl;

R^{4a}, R^{4b}, R^{4b'}, R^{4f} and R^{4f'} are each hydrogen;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

36. The compound of Claim 35 wherein

5 X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen or (C_1-C_6) alkyl;

Y is $-\text{NR}^{4d''}-$, where $\text{R}^{4d''}$ is hydrogen or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_3-C_6) cycloalkyl, (C_1-C_3) alkylsulfonyl-, (C_1-C_3) alkylaminosulfonyl-, $\text{di}(\text{C}_1-\text{C}_3)$ alkylaminosulfonyl-, acyl, (C_1-C_6) alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen or (C_1-C_6) alkyl;

15 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

37. The compound of Claim 35 or 36 wherein R^0 and R^1 are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C_1-C_4) alkoxy, (C_1-C_4) alkyl, halo-substituted (C_1-C_4) alkyl, and cyano;

20 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

38. The compound of Claim 37 wherein R^0 and R^1 are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C_1-C_4) alkoxy, (C_1-C_4) alkyl, fluoro-substituted (C_1-C_4) alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

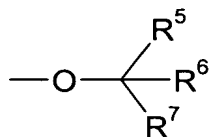
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39. The compound of Claim 38 wherein R^0 is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R^1 is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

40. The compound of Claim 39 selected from the group consisting of
5 7-(1-benzhydrylazetidin-3-yloxy)-3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidine; and
7-(1-benzylpyrrolidin-3-yloxy)-3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidine;
a pharmaceutically acceptable salt thereof or a solvate or hydrate of said
10 compound or said salt.

41. The compound of Claim 1 wherein R⁴ is a group of Formula (IC)



IC

- 15 where R⁵ and R⁶ are each independently hydrogen, aryl, or (C₁-C₄)alkyl, and
R⁷ is an optionally substituted (C₁-C₄)alkyl-, or an optionally substituted 4-6
membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms
independently selected from oxygen, sulfur or nitrogen,
or R⁵ and R⁶ or R⁵ and R⁷ taken together form a 5-6 membered lactone, 4-6
20 membered lactam, or a 4-6 membered partially or fully saturated heterocycle
containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,
where said lactone, said lactam and said heterocycle are optionally substituted with
one or more substituents;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said
25 compound or said salt.

42. The compound of Claim 41 wherein
R⁰ and R¹ are each independently a substituted phenyl;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said
30 compound or said salt.

43. The compound of Claim 41 or 42 wherein R^0 and R^1 are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

44. The compound of Claim 43 wherein R^0 and R^1 are each independently a phenyl substituted with 1 to 2 substituents independently selected
10 from the group consisting of bromo, chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15 45. The compound of Claim 44 selected from the group consisting of
2-(2-chlorophenyl)-7-isopropoxy-3-(4-trifluoromethylphenyl)-2H-pyrazolo[4,3-d]pyrimidine;
2-(2-chloro-4-methylphenyl)-5-methyl-7-(2,2,2-trifluoroethoxy)-3-(4-trifluoromethylphenyl)-2H-pyrazolo[4,3-d]pyrimidine;
20 2-(2-chlorophenyl)-3-(4-methoxyphenyl)-5-methyl-7-(2,2,2-trifluoroethoxy)-2H-pyrazolo[4,3-d]pyrimidine;
2-(2-bromophenyl)-3-(4-chlorophenyl)-7-(2,2-difluoropropoxy)-5-methyl-2H-pyrazolo[4,3-d]pyrimidine;
2-(2-bromophenyl)-3-(4-methoxyphenyl)-5-methyl-7-(2,2,2-trifluoroethoxy)-
25 2H-pyrazolo[4,3-d]pyrimidine;
2-[3-(4-chlorophenyl)-7-(2,2-difluoropropoxy)-5-methylpyrazolo[4,3-d]pyrimidin-2-yl]-benzonitrile;
2-(2-bromophenyl)-7-(2,2-difluoropropoxy)-3-(4-methoxyphenyl)-5-methyl-2H-pyrazolo[4,3-d]pyrimidine;
30 3-(4-bromophenyl)-2-(2-chlorophenyl)-7-(2,2-difluoropropoxy)-5-methyl-2H-pyrazolo[4,3-d]pyrimidine; and
2-(2-chlorophenyl)-7-(2,2-difluoropropoxy)-3-(4-methoxyphenyl)-5-methyl-2H-pyrazolo[4,3-d]pyrimidine;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

46. The compound of Claim 44 wherein R⁰ is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R¹ is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

47. The compound of Claim 46 selected from the group consisting of
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-isopropoxy-2H-pyrazolo[4,3-d]pyrimidine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(2,2,2-trifluoroethoxy)-2H-pyrazolo[4,3-d]pyrimidine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-methoxy-2H-pyrazolo[4,3-d]pyrimidine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-ethoxy-2H-pyrazolo[4,3-d]pyrimidine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-propoxy-2H-pyrazolo[4,3-d]pyrimidine;
- 7-butoxy-3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-ethyl-7-(2,2,2-trifluoroethoxy)-2H-pyrazolo[4,3-d]pyrimidine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-isopropyl-7-(2,2,2-trifluoroethoxy)-2H-pyrazolo[4,3-d]pyrimidine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-ethoxy-5-trifluoromethyl-2H-pyrazolo[4,3-d]pyrimidine;
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(2,2,2-trifluoroethoxy)-5-trifluoromethyl-2H-pyrazolo[4,3-d]pyrimidine; and
- 3-(4-chlorophenyl)-2-(2-chlorophenyl)-7-(2,2-difluorobutoxy)-5-methyl-2H-pyrazolo[4,3-d]pyrimidine;
- a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

48. The compound of Claim 1 wherein R⁴ is an amino group having attached thereto at least one chemical moiety selected from the group consisting of (C₁-C₈)alkyl, aryl, aryl(C₁-C₄)alkyl, a 3-8 membered partially or fully saturated carbocyclic ring, hydroxy(C₁-C₆)alkyl, (C₁-C₃)alkoxy(C₁-C₆)alkyl, heteroaryl(C₁-C₃)alkyl, and a fully or partially saturated heterocycle, where said chemical moiety is optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

49. The compound of Claim 48 wherein

R⁰ and R¹ are each independently a substituted phenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

50. The compound of Claim 48 or 49 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

51. The compound of Claim 50 wherein R⁰ and R¹ are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

52. The compound of Claim 51 wherein R⁰ is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and R¹ is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

53. The compound of Claim 52 selected from the group consisting of
N-4-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-
N,N-diethylpentane-1,4-diamine;
[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(1-
5 methyl-2-morpholin-4-yl-ethyl)-amine;
[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-
pyridin-2-yl-amine;
[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(5-
methylpyridin-2-yl)-amine;
10 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(5-
methoxypyridin-2-yl)-amine;
[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-
(3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-5'-yl)-amine;
(6-azetidin-1-yl-pyridin-3-yl)-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-
15 pyrazolo[4,3-d]pyrimidin-7-yl]-amine;
[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-
pyridin-2-ylmethanamine;
[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(5-
methyl-pyridin-2-ylmethanamine);
20 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-
pyridin-3-ylmethanamine;
[3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-
diethanamine;
bicyclo[2.2.1]hept-2-yl-[3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-
25 pyrazolo[4,3-d]pyrimidin-7-yl]-amine;
[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-
cyclohexanamine;
[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-
diethanamine;
30 bicyclo[2.2.1]hept-2-yl-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-
pyrazolo[4,3-d]pyrimidin-7-yl]-amine;
[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(4-
methyl-cyclohexyl)amine;

- adamantan-2-yl-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-amine;
- [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(1,7,7-trimethylbicyclo[2.2.1]hept-2-yl)-amine;
- 5 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(3-methylcyclohexyl)amine;
- 2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]cyclopentanecarboxylic acid ethyl ester;
- 2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-
- 10 ylamino]cyclopentanol;
- 2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]cyclohexanol;
- [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(2,6-dimethylcyclohexyl)amine;
- 15 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]cycloheptylamine;
- [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]cyclobutylamine;
- 2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-
- 20 ylamino]-2-methylpropane-1,3-diol;
- [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(1-methyl-1-phenylethyl)amine;
- {1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]cyclopentyl}methanol;
- 25 2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]-2-methylpropan-1-ol;
- [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(1,1-dimethylpropyl)amine;
- 2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-
- 30 ylamino]-3-phenylpropan-1-ol;
- [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-indan-2-ylamine;
- [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(1,2,3,4-tetrahydronaphthalen-1-yl)amine;

2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]-1-pyrrolidin-1-ylpropan-1-one;

4-{2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]propyl}phenol;

5 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(1-cyclohexylethyl)amine;

[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(1-p-tolylolethyl)amine;

10 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(2-phenylcyclopropyl)amine;

2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]indan-1-ol;

[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(2-morpholin-4-yl-ethyl)amine;

15 (1H-Benzoimidazol-2-ylmethyl)-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-amine;

1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]propan-2-ol;

20 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(2,2,2-trifluoroethyl)amine;

[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-cyclopropylmethylamine;

[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(1-cyclohexylethyl)amine; and

25 3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-7-pyrrolidin-1-yl-2H-pyrazolo[3,4-c]pyridine;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

30 54. The compound of Claim 53 selected from the group consisting of [3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-diethylamine;

bicyclo[2.2.1]hept-2-yl-[3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-amine;

[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-diethylamine;

bicyclo[2.2.1]hept-2-yl-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-amine;

5 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-cyclohexylamine;

adamantan-2-yl-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-amine;

10 2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]cyclohexanol;

[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]cyclobutylamine;

[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(1-methyl-1-phenylethyl)amine;

15 {1-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]cyclopentyl}methanol;

2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]-3-phenylpropan-1-ol;

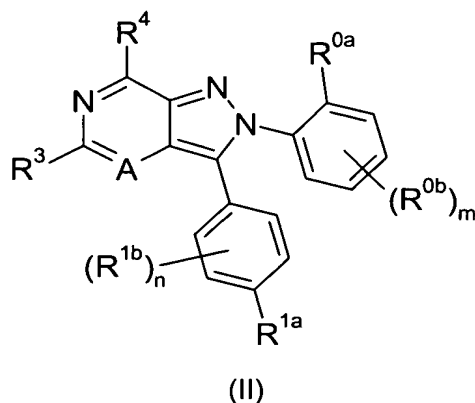
20 [3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-indan-2-ylamine;

[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]-(1-cyclohexylethyl)amine; and

2-[3-(4-chlorophenyl)-2-(2-chlorophenyl)-2H-pyrazolo[4,3-d]pyrimidin-7-ylamino]indan-1-ol;

25 a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

55. A compound of Formula (II)



5 wherein

A is N or C(R²), where R² is hydrogen, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or (C₁-C₄)alkoxy;

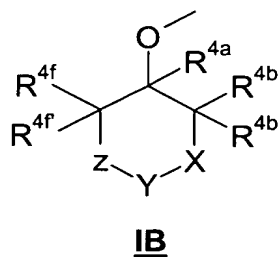
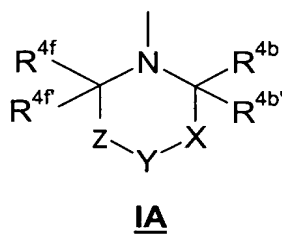
R^{0a}, R^{0b}, R^{1a}, and R^{1b} are each independently halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or cyano;

10 n and m are each independently 0, 1 or 2;

R³ is hydrogen, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or (C₁-C₄)alkoxy;

R⁴ is

(i) a group having Formula (IA) or Formula (IB)



15

where R^{4a} is hydrogen or (C₁-C₃)alkyl;

R^{4b} and R^{4b'} are each independently hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated

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heterocycle, and a partially or fully saturated carbocyclic ring, where the moiety is optionally substituted with one or more substituents,

or either R^{4b} or $R^{4b'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

5 X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(R^{4c})(R^{4c'})-$, where R^{4c} and $R^{4c'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1-\text{C}_6)\text{alkyl}$, $(\text{C}_1-\text{C}_6)\text{alkoxy}$, acyloxy, acyl, $(\text{C}_1-\text{C}_3)\text{alkyl-O-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl-NH-C}(\text{O})-$, $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$, $(\text{C}_1-\text{C}_6)\text{alkylamino-}$, $\text{di}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, $(\text{C}_3-\text{C}_6)\text{cycloalkylamino-}$,
10 acylamino-, $\text{aryl}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, heteroaryl $(\text{C}_1-\text{C}_4)\text{alkylamino-}$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where the moiety is optionally substituted with one or more substituents,

or either R^{4c} or $R^{4c'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a
15 bond, a methylene bridge or an ethylene bridge;

Y is oxygen, sulfur, $-\text{C}(\text{O})-$, or $-\text{C}(R^{4d})(R^{4d'})-$, where R^{4d} and $R^{4d'}$ are each independently hydrogen, cyano, hydroxy, amino, $\text{H}_2\text{NC}(\text{O})-$, or a chemical moiety selected from the group consisting of $(\text{C}_1-\text{C}_6)\text{alkyl}$, $(\text{C}_1-\text{C}_6)\text{alkoxy}$, acyloxy, acyl, $(\text{C}_1-\text{C}_3)\text{alkyl-O-C}(\text{O})-$, $(\text{C}_1-\text{C}_4)\text{alkyl-NH-C}(\text{O})-$, $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$, $(\text{C}_1-\text{C}_6)\text{alkylamino-}$, $\text{di}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, $(\text{C}_3-\text{C}_6)\text{cycloalkylamino-}$, acylamino-, $\text{aryl}(\text{C}_1-\text{C}_4)\text{alkylamino-}$, heteroaryl $(\text{C}_1-\text{C}_4)\text{alkylamino-}$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring,
20 where the moiety is optionally substituted with one or more substituents,

or R^{4d} and $R^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and
25 said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

30 Y is $-\text{NR}^{4d''}-$, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of $(\text{C}_1-\text{C}_6)\text{alkyl}$, $(\text{C}_3-\text{C}_6)\text{cycloalkyl}$, $(\text{C}_1-\text{C}_3)\text{alkylsulfonyl-}$, $(\text{C}_1-\text{C}_3)\text{alkylaminosulfonyl-}$, $\text{di}(\text{C}_1-\text{C}_3)\text{alkylaminosulfonyl-}$,

acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where the moiety is optionally substituted with one or more substituents;

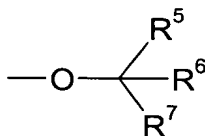
Z is a bond, -CH₂CH₂-, or -C(R^{4e})(R^{4e'})-, where R^{4e} and R^{4e'} are each independently hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, ((C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, di(C₁-C₄)alkylamino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocyclic ring, and a 3-6 membered partially or fully saturated carbocyclic ring, where the moiety is optionally substituted with one or more substituents,

or either R^{4e} or R^{4e'} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge or an ethylene bridge; and

R^{4f} and R^{4f'} are each independently hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, ((C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, di(C₁-C₄)alkylamino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where the moiety is optionally substituted with one or more substituents,

or either R^{4f} or R^{4f'} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge or an ethylene bridge;

(ii) a group having Formula (IC)



IC

where R⁵ and R⁶ are each independently hydrogen, aryl, or (C₁-C₄)alkyl, and R⁷ is an optionally substituted (C₁-C₄)alkyl-, or an optionally substituted 4-6 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,

or R⁵ and R⁶ or R⁵ and R⁷ taken together form a 5-6 membered lactone, 4-6 membered lactam, or a 4-6 membered partially or fully saturated heterocycle

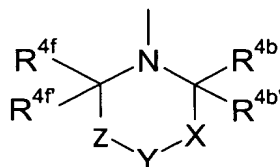
containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted with one or more substituents;

(iii) an amino group having attached thereto at least one chemical moiety selected from the group consisting of (C₁-C₈)alkyl, aryl, aryl(C₁-C₄)alkyl, a 3-8 membered partially or fully saturated carbocyclic ring, hydroxy(C₁-C₆)alkyl, (C₁-C₃)alkoxy(C₁-C₆)alkyl, heteroaryl(C₁-C₃)alkyl, and a fully or partially saturated heterocycle, where said chemical moiety is optionally substituted with one or more substituents; or

(iv) an (C₁-C₆)alkyl group having attached thereto at least one chemical moiety selected from the group consisting of hydroxy, (C₁-C₆)alkoxy, amino, (C₁-C₆)alkylamino, di((C₁-C₆)alkyl)amino (C₁-C₃)alkylsulfonyl, (C₁-C₃)alkylsulfamyl, di((C₁-C₃)alkyl)sulfamyl, acyloxy, a fully or partially saturated heterocycle, and a fully or partially saturated carbocyclic ring, where said chemical moiety is optionally substituted with one or more substituents;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

56. The compound of Claim 55 wherein R⁴ is a group of Formula (IA);



IA

where,

R^{4b} and R^{4b'} are each independently hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a partially or fully saturated heterocycle, and a 3-6 membered partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4b} or R^{4b'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, -CH₂CH₂- or -C(R^{4c})(R^{4c'})-, where R^{4c} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting

of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a
5 partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4c} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene bridge, or an ethylene bridge, and

R^{4c'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group
10 consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4c'} taken together with R^{4e}, R^{4e'}, R^{4f}, or R^{4f'} forms a bond, a methylene
15 bridge, or an ethylene bridge;

Y is oxygen, sulfur, -C(O)-, or -C(R^{4d})(R^{4d'})-, where R^{4d} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a
20 partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and

R^{4d'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group
25 consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4d} and R^{4d'} taken together form a 3-6 membered partially or fully saturated
30 carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is $-NR^{4d''}$ -, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₃)alkylsulfonyl-, (C₁-C₃)alkylaminosulfonyl-, di(C₁-C₃)alkylaminosulfonyl-, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more

5 substituents;

Z is a bond, $-CH_2CH_2-$, or $-C(R^{4e})(R^{4e'})-$, where R^{4e} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

15 or R^{4e} taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge, and

$R^{4e'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

20 or $R^{4e'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge; and

R^{4f} and $R^{4f'}$ are each independently hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

25 or R^{4f} or $R^{4f'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge;

30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

57. The compound of Claim of 56 wherein

R^{4b} is hydrogen, an optionally substituted (C₁-C₃)alkyl, or taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

5 $R^{4b'}$ is hydrogen, an optionally substituted (C₁-C₃)alkyl, or taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

R^{4f} is hydrogen, an optionally substituted (C₁-C₃)alkyl, or taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge; and

10 R^{4f} is hydrogen, an optionally substituted (C₁-C₃)alkyl, or taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

58. The compound of Claim 57 wherein

15 X is -C(R^{4c})($R^{4c'}$)-, where R^{4c} and $R^{4c'}$ are each independently hydrogen, H₂NC(O)-, or a chemical moiety selected from (C₁-C₆)alkyl, (C₁-C₄)alkyl-NH-C(O)-, or ((C₁-C₄)alkyl)₂N-C(O)-, where said moiety is optionally substituted with one or more substituents,

20 or either R^{4c} or $R^{4c'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge or an ethylene bridge;

Y is -NR^{4d''}-, where $R^{4d''}$ is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₃)alkylsulfonyl, (C₁-C₃)alkylaminosulfonyl, di(C₁-C₃)alkylaminosulfonyl, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

25 Z is -C(R^{4e})($R^{4e'}$)-, where R^{4e} and $R^{4e'}$ are each independently hydrogen, H₂NC(O)-, or a chemical moiety selected from (C₁-C₆)alkyl, (C₁-C₄)alkyl-NH-C(O)-, or ((C₁-C₄)alkyl)₂N-C(O)-, where said moiety is optionally substituted with one or more substituents,

30 or either R^{4e} or $R^{4e'}$ taken together with R^{4b} , $R^{4b'}$, R^{4c} , or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

59. The compound of Claim 58 wherein R^{4d''} is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₃)alkylsulfonyl, (C₁-C₃)alkylaminosulfonyl, di(C₁-C₃)alkylaminosulfonyl, acyl, (C₁-C₆)alkyl-O-C(O)-, and heteroaryl, where said moiety is optionally substituted with one or more substituents;
5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

60. The compound of Claim 59 wherein R^{4d''} is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₃)alkylsulfonyl, (C₁-C₃)alkylaminosulfonyl, di(C₁-C₃)alkylaminosulfonyl, acyl, and (C₁-C₆)alkyl-O-C(O)-, where said moiety is optionally substituted with 1-3 fluorines,
10 or R^{d''} is a heteroaryl, where said heteroaryl is optionally substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C₁-C₃)alkoxy, (C₁-C₃)alkyl, and fluoro-substituted (C₁-C₃)alkyl;
15 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

61. The compound of Claim 58, 59, or 60 wherein R^{0a}, R^{0a}, R^{1a} and R^{1b} are each independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano;
20 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

62. The compound of Claim 61 wherein R^{0a}, R^{0a}, R^{1a} and R^{1b} are each independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano; and
25 n and m are each independently 0 or 1;
a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

30 63. The compound of Claim 57 wherein Y is -C(R^{4d})(R^{4d'})-, where R^{4d} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-

C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

5 R^{4d'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

10 or R^{4d} and R^{4d'} taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally
15 contain an additional heteroatom selected from oxygen, nitrogen or sulfur;
 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20 64. The compound of Claim 63 wherein
 R^{4b}, R^{4b'}, R^{4f}, and R^{4f'} are all hydrogen;
 R^{4d} is amino, (C₁-C₆)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₆)cycloalkylamino, acylamino, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-; and
 R^{4d'} is (C₁-C₆)alkyl, H₂NC(O)-, (C₁-C₄)alkyl-NH-C(O)-, or ((C₁-C₄)alkyl)₂N-C(O)-, or aryl;
25 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

30 65. The compound of Claim 64 wherein
 X is a bond or -C(R^{4c})(R^{4c'})-, where R^{4c} and R^{4c'} are each hydrogen; and
 Z is a bond or -C(R^{4e})(R^{4e'})-, where R^{4e} and R^{4e'} are each hydrogen;
 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

66. The compound of Claim 65 wherein R^{4d} is amino, (C₁-C₆)alkylamino, di(C₁-C₄)alkylamino, (C₃-C₆)cycloalkylamino; and

R^{4d'} is H₂NC(O)-, (C₁-C₄)alkyl-NH-C(O)-, or ((C₁-C₄)alkyl)₂N-C(O)-;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

67. The compound of Claim 63, 64, 65 or 66 wherein R^{0a}, R^{0b}, R^{1a}, and R^{1b} are each independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

68. The compound of Claim 67 wherein R^{0a}, R^{0b}, R^{1a}, and R^{1b} are each independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano; and
15 n and m are each independently selected from 0 or 1;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20 69. The compound of Claim 63 wherein

R^{4b}, R^{4b'}, R^{4f}, and R^{4f'} are all hydrogen;

R^{4d} is hydrogen, hydroxy, amino, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₆)alkylamino-, and di(C₁-C₄)alkylamino-, where said moiety is optionally
25 substituted with one or more substituents; and

R^{4d'} is hydrogen, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, aryl and heteroaryl, where said moiety is optionally substituted with one or more substituents;

30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

70. The compound of Claim 69 wherein

X is a bond or $-C(R^{4c})(R^{4c'})-$, where R^{4c} and $R^{4c'}$ are each independently hydrogen or an optionally substituted (C_1-C_6) alkyl, or either R^{4c} or $R^{4c'}$ taken together with R^{4e} or $R^{4e'}$ forms a bond, a methylene bridge or an ethylene bridge; and

Z is a bond or $-C(R^{4e})(R^{4e'})-$, where R^{4e} and $R^{4e'}$ are each independently hydrogen or an optionally substituted (C_1-C_6) alkyl, or either R^{4e} or $R^{4e'}$ taken together with R^{4c} or $R^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

71. The compound of Claim 70 wherein

R^{4c} and $R^{4c'}$ are each hydrogen or either R^{4c} or $R^{4c'}$ taken together with R^{4e} or $R^{4e'}$ forms a bond;

R^{4d} is hydrogen, hydroxy, amino, or a chemical moiety selected from the group consisting of (C_1-C_6) alkoxy, acyl, (C_1-C_6) alkylamino-, and di (C_1-C_4) alkylamino-;

$R^{4d'}$ is hydrogen, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl and aryl, where said moiety is optionally substituted with one or more substituents; and

R^{4e} and $R^{4e'}$ are hydrogen or either R^{4e} or $R^{4e'}$ taken together with R^{4c} or $R^{4c'}$ forms a bond;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

72. The compound of Claim 69, 70, or 71 wherein R^{0a} , R^{0b} , R^{1a} , and R^{1b} are each independently selected from the group consisting of halo, (C_1-C_4) alkoxy, (C_1-C_4) alkyl, halo-substituted (C_1-C_4) alkyl, and cyano;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

73. The compound of Claim 72 wherein R^{0a} , R^{0b} , R^{1a} , and R^{1b} are each independently selected from the group consisting of chloro, fluoro, (C_1-C_4) alkoxy, (C_1-C_4) alkyl, fluoro-substituted (C_1-C_4) alkyl, and cyano; and

n and m are each independently 0 or 1;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

5 74. The compound of Claim 63 wherein

R^{4b} , $R^{4b'}$, R^{4f} , and $R^{4f'}$ are all hydrogen; and

R^{4d} and $R^{4d'}$ taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring or said lactam ring optionally contains an additional heteroatom selected from oxygen, nitrogen or sulfur;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15

75. The compound of Claim 74 wherein

X is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$, where R^{4c} and $\text{R}^{4c'}$ are each independently hydrogen or an optionally substituted (C_1 - C_6)alkyl, or either R^{4c} or $\text{R}^{4c'}$ taken together with R^{4e} or $\text{R}^{4e'}$ forms a bond, a methylene bridge or an ethylene bridge; and

20

Z is a bond, $-\text{CH}_2\text{CH}_2-$ or $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$, where R^{4e} and $\text{R}^{4e'}$ are each independently hydrogen or an optionally substituted (C_1 - C_6)alkyl, or either R^{4e} or $\text{R}^{4e'}$ taken together with R^{4c} or $\text{R}^{4c'}$ forms a bond, a methylene bridge or an ethylene bridge;

25

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

76. The compound of Claim 75 wherein R^{4d} and $\text{R}^{4d'}$ taken together form a 5-6 membered lactam ring, where said lactam ring is optionally substituted with one or more substituents and optionally contains an additional heteroatom selected from nitrogen or oxygen;

30

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

77. The compound of Claim 76 wherein

X is a bond or $-C(R^{4c})(R^{4c'})-$, where R^{4c} and $R^{4c'}$ are each hydrogen; and

Z is a bond or $-C(R^{4e})(R^{4e'})-$, where R^{4e} and $R^{4e'}$ are each hydrogen;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said

5 compound or said salt.

78. The compound of Claim 74, 75, 76 or 77 wherein R^{0a} , R^{0b} , R^{1a} , and

R^{1b} are each independently selected from the group consisting of halo, (C_1-C_4) alkoxy, (C_1-C_4) alkyl, halo-substituted (C_1-C_4) alkyl, and cyano;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

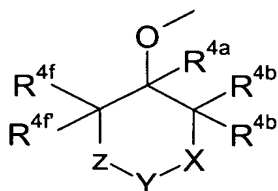
79. The compound of Claim 78 wherein R^{0a} , R^{0b} , R^{1a} , and R^{1b} are each

independently selected from the group consisting of chloro, fluoro, (C_1-C_4) alkoxy, $(C_1-$
15 $C_4)$ alkyl, fluoro-substituted (C_1-C_4) alkyl), and cyano;

n and m are each independently 0 or 1;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20 80. The compound of Claim 55 wherein R^4 is a group of Formula (IB);



IB

where R^{4a} is as defined in Claim 43;

R^{4b} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, $(C_1-$
25 $C_3)$ alkyl- $O-C(O)-$, (C_1-C_4) alkyl- $NH-C(O)-$, $(C_1-C_4)alkyl)_2N-C(O)-$, (C_1-C_6) alkylamino-, $((C_1-C_4)alkyl)_2amino-$, $(C_3-C_6)cycloalkylamino-$, acylamino-, aryl $(C_1-C_4)alkylamino-$, heteroaryl $(C_1-C_4)alkylamino-$, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

$R^{4b'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is

5 optionally substituted with one or more substituents,

or R^{4b} or $R^{4b'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, $-CH_2CH_2-$ or $-C(R^{4c})(R^{4c'})-$, where R^{4c} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting

10 of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, (C_1-C_6) alkylamino-, $((C_1-C_4)$ alkyl) $_2$ amino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted

15 with one or more substituents,

or R^{4c} taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge, and

$R^{4c'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is

20 optionally substituted with one or more substituents,

or $R^{4c'}$ taken together with R^{4e} , $R^{4e'}$, R^{4f} , or $R^{4f'}$ forms a bond, a methylene bridge, or an ethylene bridge;

Y is oxygen, sulfur, $-C(O)-$, or $-C(R^{4d})(R^{4d'})-$, where R^{4d} is hydrogen, cyano, hydroxy, amino, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, (C_1-C_6) alkoxy, acyloxy, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, (C_1-C_4) alkyl) $_2$ N-C(O)-, (C_1-C_6) alkylamino-, $((C_1-C_4)$ alkyl) $_2$ amino-, (C_3-C_6) cycloalkylamino-, acylamino-, aryl (C_1-C_4) alkylamino-, heteroaryl (C_1-C_4) alkylamino-,

25 , aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents, and

$R^{4d'}$ is hydrogen, $H_2NC(O)-$, or a chemical moiety selected from the group consisting of (C_1-C_6) alkyl, acyl, (C_1-C_3) alkyl-O-C(O)-, (C_1-C_4) alkyl-NH-C(O)-, $(C_1-$

C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4d} and R^{4d'} taken together form a 3-6 membered partially or fully saturated carbocyclic ring, a 3-6 membered partially or fully saturated heterocyclic ring, a 5-6 membered lactone ring, or a 4-6 membered lactam ring, where said carbocyclic ring, said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted with one or more substituents and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

Y is -NR^{4d''}-, where R^{4d''} is a hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₃)alkylsulfonyl-, (C₁-C₃)alkylaminosulfonyl-, di(C₁-C₃)alkylaminosulfonyl-, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

Z is a bond, -CH₂CH₂-, or -C(R^{4e})(R^{4e'})-, where R^{4e} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4e} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge, and

R^{4e'} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

or R^{4e'} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge;

R^{4f} is hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-,

((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents; and

5 R^{4f} is hydrogen, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, aryl, heteroaryl, a 3-6 membered partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said moiety is optionally substituted with one or more substituents,

10 or R^{4f} or R^{4f} taken together with R^{4b}, R^{4b'}, R^{4c}, or R^{4c'} forms a bond, a methylene bridge, or an ethylene bridge;

 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

15 81. The compound of Claim 80 wherein

 R^{4a}, R^{4b}, R^{4b'}, R^{4f} and R^{4f} are each hydrogen;

 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

20 82. The compound of Claim 81 wherein

 X is a bond, -CH₂CH₂- or -C(R^{4c})(R^{4c'})-, where R^{4c} and R^{4c'} are each independently hydrogen or (C₁-C₆)alkyl;

 Y is -NR^{4d''}-, where R^{4d''} is hydrogen or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, (C₁-C₃)alkylsulfonyl-, (C₁-C₃)alkylaminosulfonyl-, di(C₁-C₃)alkylaminosulfonyl-, acyl, (C₁-C₆)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted with one or more substituents;

25 and heteroaryl, where said moiety is optionally substituted with one or more substituents;

 Z is a bond, -CH₂CH₂- or -C(R^{4c})(R^{4c'})-, where R^{4c} and R^{4c'} are each independently hydrogen or (C₁-C₆)alkyl;

30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

83. The compound of Claim 81 or 82 wherein R^{0a} , R^{0b} , R^{1a} and R^{1b} are each independently selected from the group consisting of halo, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, and cyano;

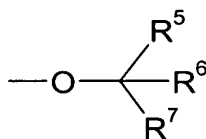
5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

84. The compound of Claim 83 wherein R^{0a} , R^{0b} , R^{1a} and R^{1b} are each independently selected from the group consisting of chloro, fluoro, (C₁-C₄)alkoxy, (C₁-C₄)alkyl, fluoro-substituted (C₁-C₄)alkyl, and cyano; and

10 n and m are each independently 0 or 1;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

85. The compound of Claim 55 wherein R^4 is a group having Formula
15 (IC)



IC

where R^5 and R^6 are each independently hydrogen, aryl or (C₁-C₄)alkyl, and R^7 is (C₁-C₄)alkyl-, halo-substituted (C₁-C₄)alkyl-, (C₁-C₄)alkoxy(C₁-C₄)alkyl-, (C₁-C₄)alkylamino(C₁-C₄)alkyl-, di(C₁-C₄)alkylamino(C₁-C₄)alkyl-, or a 4-6 membered partially or fully saturated heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, or

20 R^5 and R^6 , or R^5 and R^7 taken together form a 5-6 membered lactone, 4-6 membered lactam, or a 4-6 membered partially or fully saturated heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted with one or more substituents;

25 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

30

86. The compound of Claim 85 wherein n and m are each independently 1 or 0;

a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

87. The compound of Claim 86 wherein R⁵ and R⁶ are each independently
5 hydrogen or (C₁-C₄)alkyl, and R⁷ is (C₁-C₄)alkyl;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

88. The compound of Claim 86 or 87 wherein R^{0a}, R^{0b}, R^{1a}, and R^{1b} are
10 each independently chloro, fluoro or trifluoromethyl;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

89. The compound of Claim 55 wherein R⁴ is an amino group having
15 attached thereto at least one chemical moiety selected from the group consisting of (C₁-C₈)alkyl, aryl, aryl(C₁-C₄)alkyl, a 3-8 membered partially or fully saturated carbocyclic ring, hydroxy(C₁-C₆)alkyl, (C₁-C₃)alkoxy(C₁-C₆)alkyl, heteroaryl(C₁-C₃)alkyl, and a partially or fully saturated heterocycle, where said chemical moiety is optionally substituted with one or more substituents;
20 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

90. The compound of Claim 89 wherein n and m are each independently
1 or 0;
25 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

91. The compound of Claim 89 or 90 wherein R^{0a}, R^{0b}, R^{1a}, and R^{1b} are
each independently chloro, fluoro or trifluoromethyl;
30 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

92. The compound of Claim 55 wherein R⁴ is an (C₁-C₆)alkyl group having
attached thereto at least one chemical moiety selected from the group consisting of

hydroxy, (C₁-C₆)alkoxy, amino, (C₁-C₆)alkylamino, di((C₁-C₆)alkyl)amino (C₁-C₃)alkylsulfonyl, (C₁-C₃)alkylsulfamyl, di((C₁-C₃)alkyl)sulfamyl, acyloxy, a partially or fully saturated heterocycle, and a partially or fully saturated carbocyclic ring, where said chemical moiety is optionally substituted with one or more substituents;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

93. The compound of Claim 92 wherein n and m are each independently 1 or 0;

10 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

94. The compound of Claim 92 or 93 wherein R^{0a}, R^{0b}, R^{1a}, and R^{1b} are each independently chloro, fluoro or trifluoromethyl;

15 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

95. A pharmaceutical composition comprising (1) a compound of Claim 1, or a solvate or hydrate of said compound or said salt; and (2) a pharmaceutically acceptable excipient, diluent, or carrier.

20

96. The composition of Claim 95 further comprising at least one additional pharmaceutical agent.

25 97. The composition of Claim 96 wherein said additional pharmaceutical agent is a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

98. The composition of Claim 97 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 β -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY₃₋₃₆ or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a β_3 adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT_{2c} receptor agonist, a melanin concentrating hormone

30

antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

99. A method for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist in animals comprising the step of administering to an animal in need of such treatment a therapeutically effective amount of a compound of Claim 1;
a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

100. The method of Claim 99 wherein said compound is a compound of Claim 2, a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

101. The method of Claim 99 wherein said compound is administered in combination with a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

102. The method of Claim 100 wherein said compound is administered in combination with a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

103. The method of Claim 101 or 102 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 β -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY₃₋₃₆ or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a β_3 adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT_{2c} receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a

lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a
5 ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

104. The method of Claim 99 or 100 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is selected from the group
10 consisting of weight loss, obesity, bulimia, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related behaviors, alcoholism, tobacco abuse, dementia, seizure disorders, epilepsy, attention deficit disorder, Parkinson's disease, inflammation, gastrointestinal disorders, and type II diabetes.

15

105. The method of Claim 104 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is obesity, bulimia, attention deficit disorder, Parkinson's disease, dementia, alcoholism, or tobacco abuse.

20

106. A method for treating a disease, condition or disorder modulated by a cannabinoid receptor antagonist comprising the step of administering a pharmaceutical composition of Claim 95.

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107. The method of Claim 106 wherein said pharmaceutical composition further comprises an additional pharmaceutical agent.

30

108. The method of Claim 107 wherein said additional pharmaceutical agent is a nicotine partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

109. The method of Claim 108 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11β -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY₃₋₃₆ or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a β_3

adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT_{2c} receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a
5 thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and
10 a neuromedin U receptor agonist.

110. The method of Claim 106, 107, 108 or 109 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is obesity, bulimia, attention deficit disorder, Parkinson's disease, dementia, alcoholism, or
15 tobacco abuse.

111. A method for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist in animals comprising the step of administering to an animal in need of such treatment a therapeutically effective amount of a compound of Claim 55; a pharmaceutically acceptable salt thereof, or a
20 solvate or hydrate of said compound or said salt.

112. The method of Claim 111 wherein said compound is a compound of Claim 56, a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said
25 compound or said salt.

113. The method of Claim 111 wherein said compound is administered in combination with a nicotine partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

114. The method of Claim 112 wherein said compound is administered in combination with a nicotine partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.
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115. The method of Claim 113 or 114 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 β -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY₃₋₃₆ or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a β_3 adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT_{2c} receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

116. The method of Claim 111 or 112 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is selected from the group consisting of weight loss, obesity, bulimia, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related behaviors, alcoholism, tobacco abuse, dementia, seizure disorders, epilepsy, attention deficit disorder, Parkinson's disease, inflammation, gastrointestinal disorders, and type II diabetes.

117. The method of Claim 116 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is obesity, bulimia, attention deficit disorder, Parkinson's disease, dementia, alcoholism, or tobacco abuse.

118. A method for treating a disease, condition or disorder modulated by a cannabinoid receptor antagonist in animals comprising the step of administering to an animal in need of such treatment two separate pharmaceutical compositions comprising

- (i) a first composition comprising a compound of Claim 1 or Claim 55, or a pharmaceutically acceptable salt thereof or a solvate or hydrate of said salt, and a pharmaceutically acceptable excipient, diluent, or carrier, and

- (ii) a second composition comprising at least one additional pharmaceutical agent and a pharmaceutically acceptable excipient, diluent, or carrier.

5 119. The method of Claim 118 wherein said at least one additional pharmaceutical agent is a nicotine partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

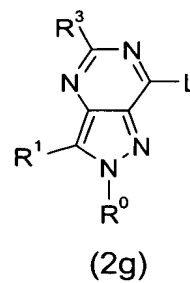
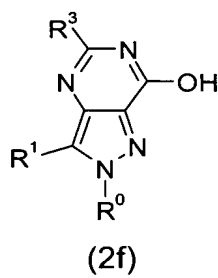
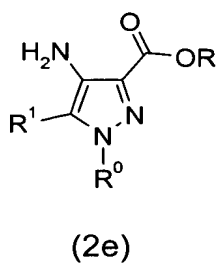
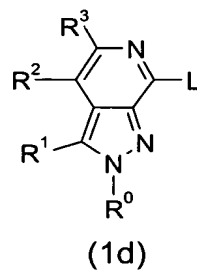
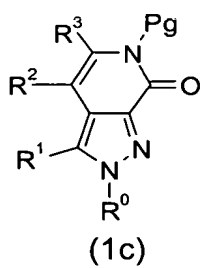
10 120. The method of Claim 119 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, a 11 β -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY₃₋₃₆ or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a β_3 adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT_{2c} receptor agonist, a melanin concentrating hormone
15 antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin antagonist, a lipase inhibitor, a bombesin agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a
20 ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

 121. The method of Claim 118 wherein said first composition and said second composition are administered simultaneously.

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 122. The method of Claim 118 wherein said first composition and said second composition are administered sequentially and in any order.

123. A compound of Formula (1c), (1d), (2e), (2f) or (2g)



wherein

- 5 R^0 , R^1 , R^2 , R^3 are as defined in Claim 1;
 R is an alkyl group;
 Pg is an amino-protecting group; and
 L is a leaving group.